

What is claimed is:

1. A stable bioadhesive nanoparticulate composition comprising:
  - (a) active agent particles in a semi-crystalline state, an amorphous state, a mixture of crystalline and semi-crystalline, a mixture of crystalline and amorphous, or a mixture of crystalline, semi-crystalline, and amorphous; and
  - (b) adsorbed to the surface thereof at least one cationic surface stabilizer,

5 wherein the active agent particles have an effective average particle size of less than about 4000 nm, and wherein the nanoparticulate composition adsorbs to a biological surface.

10 2. The composition of claim 1, wherein the active agent is selected from the group consisting of a poorly water-soluble active agent and a water-soluble active agent.

15 3. The composition of claim 1, wherein the active agent is selected from the group consisting of a drug, vitamin, herb, cosmetic agent, coloring agent, flavor agent, fragrance agent, sunscreen, moisturizer, deodorant, food product, hair conditioner agent, hair dye, hair spray agent, hair cosmetic agent, hair cleanser agent, depilatory agent, insecticide, fertilizer, pesticide, herbicide, germicide, and plant growth regulating agent.

20 4. The composition of claim 3, wherein the drug is selected from the group consisting of proteins, peptides, nutriceuticals, anti-obesity agents, corticosteroids, elastase inhibitors, analgesics, anti-fungals, oncology therapies, anti-emetics, analgesics, cardiovascular agents, anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, antibiotics, anticoagulants, antidepressants, antidiabetic agents, antiepileptics, antihistamines, 25 antihypertensive agents, antimuscarinic agents, antimycobacterial agents, antineoplastic agents, immunosuppressants, antithyroid agents, antiviral agents, anxiolytic sedatives, astringents, beta-adrenoceptor blocking agents, blood products and substitutes, cardiac inotropic agents, contrast media, cough suppressants, diagnostic agents, diagnostic imaging agents, diuretics, dopaminergics, haemostatics, immunological agents, lipid regulating agents, muscle relaxants, parasympathomimetics, parathyroid calcitonin and biphosphonates, 30 prostaglandins, radio- pharmaceuticals, sex hormones, anti-allergic agents, stimulants and anoretics, sympathomimetics, thyroid agents, vasodilators, xanthines, acne medication, alpha-hydroxy formulations, cystic-fibrosis therapies, asthma therapies, emphysema therapies,

respiratory distress syndrome therapies, chronic bronchitis therapies, chronic obstructive pulmonary disease therapies, organ-transplant rejection therapies, therapies for tuberculosis and other infections of the lung, and respiratory illness therapies associated with acquired immune deficiency syndrome.

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5. The composition of claim 1, wherein the composition is formulated for administration selected from the group consisting of vaginal, ocular, nasal, buccal, oral, colonic, topical, and subcutaneous administration.

10 6. The composition of claim 1, wherein the at least one cationic surface stabilizer is selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a nonpolymeric compound, and a phospholipid.

15 7. The composition of claim 1, wherein the surface stabilizer is selected from the group consisting of benzalkonium chloride, polymethylmethacrylate trimethylammonium bromide, polyvinylpyrrolidone-2-dimethylaminoethyl methacrylate dimethyl sulfate, and hexadecyltrimethyl ammonium bromide.

20 8. The composition of claim 1, wherein the effective average particle size of the agent particles is selected from the group consisting of less than about 3500 nm, less than about 3000 nm, less than about 2500 nm, less than about 2000 nm, less than about 1500 nm, less than about 1000 nm, less than about 800 nm, less than about 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

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9. The composition of claim 1, wherein the composition further comprises one or more pharmaceutically acceptable excipients.

30 10. The composition of claim 1, wherein the active agent particles are present in an amount of about 99.99 to 0.01(w/w) based on the total weight of the composition.

11. The composition of claim 1, wherein the surface stabilizer is present in an amount of about 0.001 to about 99.999% (w/w) based on the total weight of the composition.

12. The composition of claim 1, wherein the composition adsorbs to a biological 5 surface selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

13. The composition of claim 1 in a dry powder form.

10 14. A stable bioadhesive nanoparticulate composition which adsorbs to a biological surface and which comprises:

- (a) active agent particles in a crystalline state, wherein the active agent particles have an effective average particle size of less than about 4000 nm; and
- (b) adsorbed to the surface thereof at least one cationic surface stabilizer selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a phospholipid, and a nonpolymeric compound, wherein the nonpolymeric compound is not benzalkonium chloride.

15 15. The composition of claim 14 having benzalkonium chloride as a secondary 20 surface stabilizer.

16. The composition of claim 14, wherein the surface stabilizer is selected from the group consisting of polymethylmethacrylate trimethylammonium bromide, polyvinylpyrrolidone-2-dimethylaminoethyl methacrylate dimethyl sulfate, and 25 hexadecyltrimethyl ammonium bromide.

17. The composition of claim 14, wherein the active agent is selected from the group consisting of a poorly water-soluble active agent and a water-soluble active agent.

30 18. The composition of claim 14, wherein the active agent is selected from the group consisting of a drug, vitamin, herb, cosmetic agent, coloring agent, flavor agent, fragrance agent, sunscreen, moisturizer, deodorant, food product, hair conditioner agent, hair

dye, hair spray agent, hair cosmetic agent, hair cleanser agent, depilatory agent, insecticide, fertilizer, pesticide, herbicide, germicide, and plant growth regulating agent.

19. The composition of claim 18, wherein the drug is selected from the group  
5 consisting of proteins, peptides, nutriceuticals, anti-obesity agents, corticosteroids, elastase  
inhibitors, analgesics, anti-fungals, oncology therapies, anti-emetics, analgesics,  
cardiovascular agents, anti-inflammatory agents, anthelmintics, anti-arrhythmic agents,  
antibiotics, anticoagulants, antidepressants, antidiabetic agents, antiepileptics, antihistamines,  
antihypertensive agents, antimuscarinic agents, antimycobacterial agents, antineoplastic  
10 agents, immunosuppressants, antithyroid agents, antiviral agents, anxiolytic sedatives,  
astringents, beta-adrenoceptor blocking agents, blood products and substitutes, cardiac  
inotropic agents, contrast media, cough suppressants, diagnostic agents, diagnostic imaging  
agents, diuretics, dopaminergics, haemostatics, immunological agents, lipid regulating agents,  
muscle relaxants, parasympathomimetics, parathyroid calcitonin and biphosphonates,  
15 prostaglandins, radio- pharmaceuticals, sex hormones, anti-allergic agents, stimulants and  
anoretics, sympathomimetics, thyroid agents, vasodilators, xanthines, acne medication, alpha-  
hydroxy formulations, cystic-fibrosis therapies, asthma therapies, emphysema therapies,  
respiratory distress syndrome therapies, chronic bronchitis therapies, chronic obstructive  
pulmonary disease therapies, organ-transplant rejection therapies, therapies for tuberculosis  
20 and other infections of the lung, and respiratory illness therapies associated with acquired  
immune deficiency syndrome.

20. The composition of claim 14, wherein the composition is formulated for  
administration selected from the group consisting of vaginal, ocular, nasal, buccal, oral,  
25 colonic, topical, and subcutaneous administration.

21. The composition of claim 14, wherein the effective average particle size of the  
agent particles is selected from the group consisting of less than about 3500 nm, less than  
about 3000 nm, less than about 2500 nm, less than about 2000 nm, less than about 1500 nm,  
30 less than about 1000 nm, less than about 800 nm, less than about 700 nm, less than about 600  
nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about

200 nm, less than about 100 nm, and less than about 50 nm.

22. The composition of claim 14, wherein the composition further comprises one or more pharmaceutically acceptable excipients.

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23. The composition of claim 14, wherein the particles are present in an amount of about 99.99 to 0.01(w/w) based on the total weight of the composition.

10 24. The composition of claim 14, wherein the surface stabilizer is present in an amount of about 0.001 to about 99.999% (w/w) based on the total weight of the composition.

25 25. The composition of claim 14, wherein the composition adsorbs to a biological surface selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

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26. The composition of claim 14 in a dry powder form.

27. A stable bioadhesive nanoparticulate composition comprising:

20 (a) poorly water-soluble active agent particles which are in a liquid state at or near room temperature; and

(b) adsorbed to the surface thereof at least one cationic surface stabilizer,

wherein: (i) the active agent particles are dispersed in a liquid medium in which they are poorly soluble; (ii) the active agent particles have an effective average particle size of less than about 4000 nm; and (iii) the nanoparticulate composition adsorbs to a biological surface.

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28. The composition of claim 27, wherein the dispersion medium is water.

29. The composition of claim 27, wherein the active agent is selected from the group consisting of a drug, vitamin, herb, cosmetic agent, coloring agent, flavor agent, 30 fragrance agent, sunscreen, moisturizer, deodorant, food product, hair conditioner agent, hair dye, hair spray agent, hair cosmetic agent, hair cleanser agent, depilatory agent, insecticide,

fertilizer, pesticide, herbicide, germicide, and plant growth regulating agent.

30. The composition of claim 29, wherein the drug is selected from the group consisting of proteins, peptides, nutriceuticals, anti-obesity agents, elastase inhibitors, 5 analgesics, anti-fungals, oncology therapies, anti-emetics, analgesics, cardiovascular agents, anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, antibiotics, anticoagulants, antidepressants, antidiabetic agents, antiepileptics, antihistamines, antihypertensive agents, antimuscarinic agents, antimycobacterial agents, antineoplastic agents, immunosuppressants, antithyroid agents, antiviral agents, anxiolytic sedatives, astringents, beta-adrenoceptor 10 blocking agents, blood products and substitutes, cardiac inotropic agents, contrast media, corticosteroids, cough suppressants, diagnostic agents, diagnostic imaging agents, diuretics, dopaminergics, haemostatics, immunological agents, lipid regulating agents, muscle relaxants, parasympathomimetics, parathyroid calcitonin and biphosphonates, prostaglandins, radio- pharmaceuticals, sex hormones, anti-allergic agents, stimulants and anoretics, 15 sympathomimetics, thyroid agents, vasodilators, xanthines, cystic-fibrosis therapies, asthma therapies, emphysema therapies, respiratory distress syndrome therapies, chronic bronchitis therapies, chronic obstructive pulmonary disease therapies, organ-transplant rejection therapies, therapies for tuberculosis and other infections of the lung, and respiratory illness therapies associated with acquired immune deficiency syndrome.

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31. The composition of claim 27, wherein the composition is formulated for administration selected from the group consisting of vaginal, ocular, nasal, buccal, oral, colonic, topical, and subcutaneous administration.

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32. The composition of claim 27, wherein the at least one cationic surface stabilizer is selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a nonpolymeric compound, and a phospholipid.

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33. The composition of claim 27, wherein the surface stabilizer is selected from the group consisting of benzalkonium chloride, polymethylmethacrylate trimethylammonium bromide, polyvinylpyrrolidone-2-dimethylaminoethyl methacrylate dimethyl sulfate, and hexadecyltrimethyl ammonium bromide.

34. The composition of claim 27, wherein the effective average particle size of the agent particles is selected from the group consisting of less than about 3500 nm, less than 3000 nm less than 2500 nm, less than 2000 nm, less than about 1500 nm, less than about 1000 nm, less than about 800 nm, less than about 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

5 35. The composition of claim 27, wherein the composition further comprises one or more pharmaceutically acceptable excipients.

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36. The composition of claim 27, wherein the particles are present in an amount of about 99.99 to 0.01% (w/w) based on the total weight of the composition.

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37. The composition of claim 27, wherein the surface stabilizer is present in an amount of about 0.001 to about 99.999% (w/w).

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38. The composition of claim 27, wherein the composition adsorbs to a biological surface selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

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39. A stable bioadhesive nanoparticulate composition comprising:  
(a) water-soluble active agent particles which are in a liquid state at or near room temperature; and  
(b) adsorbed to the surface thereof at least one cationic surface stabilizer,  
wherein: (i) the active agent particles are dispersed in a liquid medium in which they are poorly soluble; (ii) the active agent particles have an effective average particle size of less than about 4000 nm; and (iii) the nanoparticulate composition adsorbs to a biological surface.

30 40. The composition of claim 39, wherein the dispersion medium is selected from the group consisting of mineral oil, vegetable oils, and a hydrocarbon.

41. The composition of claim 39, wherein the active agent is selected from the group consisting of a drug, vitamin, herb, cosmetic agent, coloring agent, flavor agent, fragrance agent, sunscreen, moisturizer, deodorant, food product, hair conditioner agent, hair dye, hair spray agent, hair cosmetic agent, hair cleanser agent, depilatory agent, insecticide, 5 fertilizer, pesticide, herbicide, germicide, and plant growth regulating agent.

42. The composition of claim 41, wherein the drug is selected from the group consisting of proteins, peptides, nutriceuticals, anti-obesity agents, elastase inhibitors, analgesics, anti-fungals, oncology therapies, anti-emetics, analgesics, cardiovascular agents, 10 anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, antibiotics, anticoagulants, antidepressants, antidiabetic agents, antiepileptics, antihistamines, antihypertensive agents, antimuscarinic agents, antimycobacterial agents, antineoplastic agents, immunosuppressants, antithyroid agents, antiviral agents, anxiolytic sedatives, astringents, beta-adrenoceptor blocking agents, blood products and substitutes, cardiac inotropic agents, contrast media, 15 corticosteroids, cough suppressants, diagnostic agents, diagnostic imaging agents, diuretics, dopaminergics, haemostatics, immunological agents, lipid regulating agents, muscle relaxants, parasympathomimetics, parathyroid calcitonin and biphosphonates, prostaglandins, radio- pharmaceuticals, sex hormones, anti-allergic agents, stimulants and anoretics, 20 sympathomimetics, thyroid agents, vasodilators, xanthines, cystic-fibrosis therapies, asthma therapies, emphysema therapies, respiratory distress syndrome therapies, chronic bronchitis therapies, chronic obstructive pulmonary disease therapies, organ-transplant rejection therapies, therapies for tuberculosis and other infections of the lung, and respiratory illness therapies associated with acquired immune deficiency syndrome.

25 43. The composition of claim 39, wherein the composition is formulated for administration selected from the group consisting of vaginal, ocular, nasal, buccal, oral, colonic, topical, and subcutaneous administration.

44. The composition of claim 39, wherein the at least one cationic surface 30 stabilizer is selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a nonpolymeric compound, and a phospholipid.

45. The composition of claim 39, wherein the surface stabilizer is selected from the group consisting of benzalkonium chloride, polymethylmethacrylate trimethylammonium bromide, polyvinylpyrrolidone-2-dimethylaminoethyl methacrylate dimethyl sulfate, and hexadecyltrimethyl ammonium bromide.

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46. The composition of claim 39, wherein the effective average particle size of the agent particles is selected from the group consisting of less than about 3500 nm, less than about 3000 nm, less than about 2500 nm, less than about 2000 nm less than about 1500 nm, less than about 1000 nm, less than about 800 nm, less than about 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

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47. The composition of claim 39, wherein the composition further comprises one or more pharmaceutically acceptable excipients.

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48. The composition of claim 39, wherein the active agent particles are present in an amount of about 99.99 to 0.01% (w/w).

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49. The composition of claim 39, wherein the surface stabilizer is present in an amount of about 0.001 to about 99.999% (w/w).

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50. The composition of claim 39, wherein the biological surface is selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

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51. A stable bioadhesive nanoparticulate composition comprising:

- (a) active agent dissolved or dispersed in liquid droplets of a poorly water-soluble liquid; and
- (b) adsorbed to the surface of the liquid droplets at least one cationic surface stabilizer,

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wherein: (i) the liquid droplets comprising active agent are dispersed in a liquid medium in which they are poorly soluble; (ii) the liquid droplets comprising active agent have an

effective average particle size of less than about 4000 nm; and (iii) the nanoparticulate composition adsorbs to a biological surface.

52. The composition of claim 51, wherein the poorly water-soluble liquid in which the active agent is dissolved is selected from the group consisting of mineral oil, vegetable oils, and a hydrocarbon.

53. The composition of claim 51, wherein the liquid droplets comprising active agent are dispersed in water.

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54. The composition of claim 51, wherein the active agent is selected from the group consisting of a drug, vitamin, herb, cosmetic agent, coloring agent, flavor agent, fragrance agent, sunscreen, moisturizer, deodorant, food product, hair conditioner agent, hair dye, hair spray agent, hair cosmetic agent, hair cleanser agent, depilatory agent, insecticide, fertilizer, pesticide, herbicide, germicide, and plant growth regulating agent.

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55. The composition of claim 51, wherein the drug is selected from the group consisting of proteins, peptides, nutriceuticals, anti-obesity agents, elastase inhibitors, analgesics, anti-fungals, oncology therapies, anti-emetics, analgesics, cardiovascular agents, 20 anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, antibiotics, anticoagulants, antidepressants, antidiabetic agents, antiepileptics, antihistamines, antihypertensive agents, antimuscarinic agents, antimycobacterial agents, antineoplastic agents, immunosuppressants, antithyroid agents, antiviral agents, anxiolytic sedatives, astringents, beta-adrenoceptor blocking agents, blood products and substitutes, cardiac inotropic agents, contrast media, 25 corticosteroids, cough suppressants, diagnostic agents, diagnostic imaging agents, diuretics, dopaminergics, haemostatics, immunological agents, lipid regulating agents, muscle relaxants, parasympathomimetics, parathyroid calcitonin and biphosphonates, prostaglandins, radio-pharmaceuticals, sex hormones, anti-allergic agents, stimulants and anoretics, sympathomimetics, thyroid agents, vasodilators, xanthines, cystic-fibrosis therapies, asthma 30 therapies, emphysema therapies, respiratory distress syndrome therapies, chronic bronchitis therapies, chronic obstructive pulmonary disease therapies, organ-transplant rejection

therapies, therapies for tuberculosis and other infections of the lung, and respiratory illness therapies associated with acquired immune deficiency syndrome.

56. The composition of claim 51, wherein the composition is formulated for  
5 administration selected from the group consisting of vaginal, ocular, nasal, buccal, oral,  
colon, topical, and subcutaneous administration.

57. The composition of claim 51, wherein the at least one cationic surface  
stabilizer is selected from the group consisting of a polymer, a biopolymer, a polysaccharide,  
10 a cellulosic, an alginate, a nonpolymeric compound, and a phospholipid.

58. The composition of claim 51, wherein the surface stabilizer is selected from  
the group consisting of benzalkonium chloride, polymethylmethacrylate trimethylammonium  
bromide, polyvinylpyrrolidone-2-dimethylaminoethyl methacrylate dimethyl sulfate, and  
hexadecyltrimethyl ammonium bromide.

15 59. The composition of claim 51, wherein the effective average particle size of the  
liquid droplets comprising active agent is selected from the group consisting of less than  
about 3500 nm, less than about 3000 nm, less than about 2500 nm, less than about 2000 nm  
less than about 1500 nm, less than about 1000 nm, less than about 800 nm, less than about  
20 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than  
about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

60. The composition of claim 51, wherein the composition further comprises one  
or more pharmaceutically acceptable excipients.

25 61. The composition of claim 51, wherein the active agent particles are present in  
an amount of about 99.99 to 0.01% (w/w).

62. The composition of claim 51, wherein the surface stabilizer is present in an  
30 amount of about 0.001 to about 99.999% (w/w).

63. The composition of claim 51, wherein the biological surface is selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

5 64. A stable bioadhesive nanoparticulate composition comprising:  
(a) active agent dissolved or dispersed in liquid droplets of a water-soluble liquid;

and  
(b) adsorbed to the surface of the liquid droplets at least one cationic surface stabilizer,

10 wherein: (i) the liquid droplets comprising active agent are dispersed in a liquid medium in which they are poorly soluble; (ii) the liquid droplets comprising active agent have an effective average particle size of less than about 4000 nm; and (iii) the nanoparticulate composition adsorbs to a biological surface.

15 65. The composition of claim 64, wherein the poorly water-soluble liquid in which the active agent is dissolved is water.

20 66. The composition of claim 64, wherein the liquid droplets comprising active agent are dispersed in a liquid medium selected from the group consisting of mineral oil, vegetable oils, and a hydrocarbon.

67. The composition of claim 64, wherein the active agent is selected from the group consisting of a drug, vitamin, herb, cosmetic agent, coloring agent, flavor agent, fragrance agent, sunscreen, moisturizer, deodorant, food product, hair conditioner agent, hair 25 dye, hair spray agent, hair cosmetic agent, hair cleanser agent, depilatory agent, insecticide, fertilizer, pesticide, herbicide, germicide, and plant growth regulating agent.

68. The composition of claim 64, wherein the drug is selected from the group 30 consisting of proteins, peptides, nutriceuticals, anti-obesity agents, elastase inhibitors, analgesics, anti-fungals, oncology therapies, anti-emetics, analgesics, cardiovascular agents, anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, antibiotics, anticoagulants, antidepressants, antidiabetic agents, antiepileptics, antihistamines, antihypertensive agents,

antimuscarinic agents, antimycobacterial agents, antineoplastic agents, immunosuppressants, antithyroid agents, antiviral agents, anxiolytic sedatives, astringents, beta-adrenoceptor blocking agents, blood products and substitutes, cardiac inotropic agents, contrast media, corticosteroids, cough suppressants, diagnostic agents, diagnostic imaging agents, diuretics, 5 dopaminergics, haemostatics, immunological agents, lipid regulating agents, muscle relaxants, parasympathomimetics, parathyroid calcitonin and biphosphonates, prostaglandins, radio- pharmaceuticals, sex hormones, anti-allergic agents, stimulants and anoretics, sympathomimetics, thyroid agents, vasodilators, xanthines, cystic-fibrosis therapies, asthma therapies, emphysema therapies, respiratory distress syndrome therapies, chronic bronchitis 10 therapies, chronic obstructive pulmonary disease therapies, organ-transplant rejection therapies, therapies for tuberculosis and other infections of the lung, and respiratory illness therapies associated with acquired immune deficiency syndrome.

15 69. The composition of claim 64, wherein the composition is formulated for administration selected from the group consisting of vaginal, ocular, nasal, buccal, oral, colonic, topical, and subcutaneous administration.

20 70. The composition of claim 64, wherein the at least one cationic surface stabilizer is selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a nonpolymeric compound, and a phospholipid.

25 71. The composition of claim 64, wherein the surface stabilizer is selected from the group consisting of benzalkonium chloride, polymethylmethacrylate trimethylammonium bromide, polyvinylpyrrolidone-2-dimethylaminoethyl methacrylate dimethyl sulfate, and hexadecyltrimethyl ammonium bromide.

72. The composition of claim 64, wherein the effective average particle size of the liquid droplets comprising active agent is selected from the group consisting of less than about 3500 nm, less than about 3000 nm, less than about 2500 nm, less than about 2000 nm less than about 1500 nm, less than about 1000 nm, less than about 800 nm, less than about 30 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

73. The composition of claim 64, wherein the composition further comprises one or more pharmaceutically acceptable excipients.

74. The composition of claim 64, wherein the active agent particles are present in 5 an amount of about 99.99 to 0.01% (w/w).

75. The composition of claim 64, wherein the surface stabilizer is present in an amount of about 0.001 to about 99.999% (w/w).

10 76. The composition of claim 64, wherein the biological surface is selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

15 77. A method of preparing a stable bioadhesive nanoparticulate composition comprising contacting an active agent particle with at least one cationic surface stabilizer for a time and under conditions sufficient for the cationic surface stabilizer to adsorb to the surface of the particle to form a stable nanoparticulate composition, wherein:

20 (a) the active agent particles have an average particle size of less than about 4000 nm;

(b) the active agent particles are in a semi-crystalline state, an amorphous state, a mixture of crystalline and semi-crystalline, a mixture of crystalline and amorphous, or a mixture of crystalline, semi-crystalline, and amorphous; and

(c) the nanoparticulate composition adsorbs to a biological surface.

25 78. The method of claim 77 comprising reducing the particle size of the active agent by a method selected from the group consisting of wet milling, controlled precipitation, and homogenization.

30 79. The method of claim 77, wherein the effective average particle size of the active agent particles is selected from the group consisting of less than about 3500 nm, less than about 3000 nm, less than about 2500 nm, less than about 2000 nm less than about 1500

nm, less than about 1000 nm, less than about 800 nm, less than about 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

5 80. A method of preparing a stable bioadhesive nanoparticulate composition comprising contacting an active agent particle with at least one cationic surface stabilizer for a time and under conditions sufficient for the cationic surface stabilizer to adsorb to the surface of the particle to form a stable nanoparticulate composition, wherein:

- (a) the active agent particles have an average particle size of less than about 4000 nm;
- (b) the active agent particles are crystalline;
- (b) the at least one cationic surface stabilizer is selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a phospholipid, and a polymeric compound, wherein the nonpolymeric compound is not benzalkonium chloride.

81. The method of claim 80 comprising reducing the particle size of the active agent by a method selected from the group consisting of wet milling, controlled precipitation, and homogenization.

20        82.     The method of claim 80, wherein the effective average particle size of the active agent particles is selected from the group consisting of less than about 3500 nm, less than about 3000 nm, less than about 2500 nm, less than about 2000 nm less than about 1500 nm, less than about 1000 nm, less than about 800 nm, less than about 700 nm, less than about 600 nm, less than about 400 nm, less than about 300 nm, less than about 250 nm, less than about 200 nm, less than about 100 nm, and less than about 50 nm.

83. A method of preparing a stable bioadhesive nanoparticulate composition comprising:

- (a) combining active agent particles, which are in a liquid state at or near room temperature, with an emulsifying agent and a liquid non-solvent;
- 5 (b) emulsifying the resultant mixture to produce an emulsion of droplets of active agent; and
- (c) adding at least one cationic surface stabilizer for a time and under conditions sufficient for the cationic surface stabilizer to adsorb to the surface of the active agent liquid droplets to form a stable nanoparticulate composition,

10 wherein: (i) the active agent droplets are insoluble within said non-solvent; (ii) the active agent droplets have an effective average particle size of less than about 4000 nm; and (iii) the nanoparticulate composition adsorbs to a biological surface.

15 84. The method of claim 83, wherein said emulsification of the agent particles is accomplished by using a device selected from the group consisting of a homogenizer, a high-shear mixer, a rotor-stator type device, and a Microfluidizer®.

20 85. The method of claim 83, wherein said at least one cationic surface stabilizer is added to the mixture of active agent particles, emulsifying agent, and liquid non-solvent prior to emulsification.

25 86. The method of claim 83, wherein said at least one cationic surface stabilizer is added to the mixture of active agent particles, emulsifying agent, and liquid non-solvent during emulsification.

87. A method of preparing a stable bioadhesive nanoparticulate composition comprising:

- (a) dissolving or dispersing active agent in a liquid and combining with an emulsifying agent and a liquid non-solvent;
- 30 (b) emulsifying the resultant mixture to produce an emulsion of liquid droplets; and
- (c) adding at least one cationic surface stabilizer for a time and under conditions

sufficient for the cationic surface stabilizer to adsorb to the surface of the active agent emulsion droplets to form a-stable nanoparticulate composition,

wherein: (i) the active agent is dissolved or dispersed in the liquid droplets of the emulsion; (ii) the liquid droplets comprising said active agent have an effective average 5 particle size of less than about 4000 nm; and (iii) the nanoparticulate composition adsorbs to a biological surface.

88. The method of claim 87, wherein said emulsification of the agent particles is accomplished by using a device selected from the group consisting of a homogenizer, a high-10 shear mixer, a rotor-stator type device, and a Microfluidizer®.

89. The method of claim 87, wherein said at least one cationic surface stabilizer is added to the mixture of active agent particles, emulsifying agent, and liquid non-solvent prior to emulsification.

90. The method of claim 87, wherein said at least one cationic surface stabilizer is added to the mixture of active agent particles, emulsifying agent, and liquid non-solvent during emulsification.

91. A method for preparing aqueous dispersions of bioadhesive nanoparticulate compositions comprising:

- (a) reducing the particle size of a water-soluble active agent in a liquid non-solvent;
- (b) removing the non-solvent;
- (c) encapsulating the water-soluble active agent in a water-insoluble coating;
- (d) dispersing the encapsulated water-soluble active agent in an aqueous medium, and;
- (e) adding at least one cationic surface stabilizer to the aqueous medium such that at least one cationic surface stabilizer is adsorbed to the surface of the encapsulated active agent particles;

wherein: (i) the active agent particles have an effective average particle size of less

than about 4000 nm; and (ii) the nanoparticulate composition adsorbs to a biological surface.

92. The method of claim 91, wherein said size reduction of the active agent particles is accomplished by a method selected from the group consisting of wet milling and controlled precipitation.

93. A method of applying a nanoparticulate formulation to a biological surface comprising administering to the biological surface in need of such application a formulation comprising:

10 (a) active agent particles in a semi-crystalline state, an amorphous state, a mixture of crystalline and semi-crystalline, a mixture of crystalline and amorphous, or a mixture of crystalline, semi-crystalline, and amorphous; and  
(b) adsorbed to the surface thereof at least one cationic surface stabilizer, wherein the active agent particles have an effective average particle size of less than about 4000 nm, and wherein the nanoparticulate composition adsorbs to the biological surface.

15 94. The method of claim 93, wherein the biological surface is selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

20 95. A method of applying a nanoparticulate formulation to a biological surface comprising administering to the biological surface in need of such application formulation comprising:

25 (a) active agent particles in a crystalline state; and  
(b) adsorbed to the surface thereof at least one cationic surface stabilizer selected from the group consisting of a polymer, a biopolymer, a polysaccharide, a cellulosic, an alginate, a phospholipid, and a nonpolymeric compound, wherein the nonpolymeric compound is not benzalkonium chloride.

96. The method of claims 95, wherein the biological surface is selected from the group consisting of an insect, teeth, bone, nails, chitin, feathers, scales, mucous, skin, hair, and plant tissue.

5 97. A method of applying a nanoparticulate formulation to plant tissue comprising administering to the plant tissue in need of such application a formulation comprising:

- (a) agriculturally active agent particles; and
- (b) adsorbed to the surface thereof at least one cationic surface stabilizer,
- (c) wherein the active agent particles have an effective average particle size of less

10 than about 4000 nm, and wherein the nanoparticulate composition adsorbs to the plant tissue.